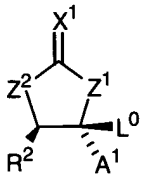
CLAIMS

1. A method of treating cancer, comprising administering to a subject an effective anti-cancer amount of a pharmaceutical composition having the formula:



wherein Z^1 is O, S, SO_2, NH, or NR_a, R_a being C_{1-6} alkyl;

X^1 is O, S, CH_2, two singly bonded H, $CH(R_b)$ in the E or Z configuration, or $C(R_b)(R_c)$ in the E or Z configuration, each of R_b and R_c, independently, being C_{1-6} alkyl, C_{6-12} aryl, C_{3-8} cycloalkyl, C_{3-8} heteroaryl, C_{3-8} heterocyclic radical, or halogen, X^1 being two singly bonded H when Z^1 is SO_2;

Z^2 is O, S, NH, NR_d, CHR^1, or $CHOR^1$ in the *(R)* or *(S)* configuration, wherein R_d is C_{1-6} alkyl and R^1 is H, halogen, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, NR_dR_e (except where Z^2 is $CHOR^1$), or the side chain of any naturally occurring α-amino acid, or R^1 and R^2 taken together are a bivalent moiety, provided that when R^1 and R^2 are taken together, Z^1 is NH or NR_a and Z^2 is CHR^1; R_e being H, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{2-6} alkenyl, or C_{2-6} alkynyl, and the bivalent moiety forming a C_{3-8} cycloalkyl, C_{3-8} heteroaryl, C_{3-8} heterocyclic radical, or C_{6-12} aryl, where the H in CHR^1 is deleted when R_1 and R_2 taken together form a C_{3-8} heteroaryl or C_{6-12} aryl;

R^2 is C_{1-6} alkyl, C_{1-6} haloalkyl, C_{2-6} alkenyl, azido, C_{2-6} alkynyl, halogen, OR_f, SR_f, NR_fR_g, $-ONR_fR_g$, $-NR_g(OR_f)$, or $-NR_g(SR_f)$ (each of R_f and R_g, independently, being H, C_{1-6}, alkyl, C_{1-6} haloalkyl, C_{2-6} alkenyl, or C_{2-6} alkynyl), or R^1 and R^2 taken together are a bivalent moiety, the bivalent moiety forming a C_{3-8} cycloalkyl, C_{3-8} heteroaryl, C_{3-8} heterocyclic radical, or C_{6-12} aryl, where the H in CHR^1 is deleted when R_1 and R_2 taken together form a C_{3-8} heteroaryl or C_{6-12} aryl;

A^1 is H, the side chain of any naturally occurring α-amino acid, or is of the following formula,

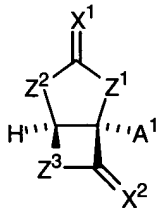
$-(CH_2)_m-Y-(CH_2)_n-R^3X^3$

wherein Y is O, S, C=O, C=S, -(CH=CH)-, vinylidene, $-C=NOR_h$, $-C=NNR_iR_{i'}$, sulfonyl, methylene, CHX^4 in the *(R)* or *(S)* configuration, or deleted, X^4 being halogen, methyl, halomethyl, OR_h, SR_h, $NR_iR_{i'}$, $-NR_i(OR_h)$, or $-NR_i(NR_iR_{i'})$, wherein R_h is selected from H, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{1-10} acyl, C_{1-6} alkylsulfonyl, and C_{6-10} arylsulfonyl, and each of R_i and $R_{i'}$, independently is selected from H, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, and C_{1-10} acyl; m is 0, 1, 2, or 3, and n is 0, 1, 2, or 3; and R^3 is straight chain or branched C_{1-8} alkylidene, straight chain or branched C_{1-8} alkylene, C_{3-10} cycloalkylidene, C_{3-10} cycloalkylene, phenylene, C_{6-14} arylalkylidene, C_{6-14} arylalkylene, or deleted, and X^3 is H, hydroxyl, thiol, carboxyl, amino, halogen, (C_{1-6} alkyl)oxycarbonyl, (C_{7-14} arylalkyl)oxycarbonyl, or C_{6-14} aryl; or R^3 and X^3 taken together are the side chain of any naturally occurring α-amino acid; and

L^O is H or an organic moiety having 1 to 25 carbon atoms, 0 to 10 heteroatoms, and 0 to 6 halogen atoms; and

a pharmaceutically acceptable carrier.

2. A method of treating cancer, comprising administering to a subject an effective anti-cancer amount of a pharmaceutical composition having the formula:



wherein Z^1 is O, S, SO_2, NH, or NR_a, R_a being C_{1-6} alkyl;

X^1 is O, S, CH_2, two singly bonded H, $CH(R_b)$ in the E or Z configuration, or $C(R_b)(R_c)$ in the E or Z configuration, each of R_b and R_c, independently, being C_{1-6} alkyl, C_{6-12} aryl, C_{3-8} cycloalkyl, C_{3-8} heteroaryl, C_{3-8} heterocyclic radical, or halogen, provided that when Z^1 is SO_2, X^1 is two singly bonded H;

Z^2 is CHR^1 in the *(R)* or *(S)* configuration, R^1 being H, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, hydroxyl, halogen, a side chain of a naturally occuring α-amino acid, OR_d, SR_d, or NR_dR_e (each of R_d and R_e, independently, being H, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{2-6} alkenyl, or C_{2-5} alkynyl);

Z^3 is O, S, NH, or NR_j, wherein R_j is C_{1-6} alkyl;

X^2 is O or S; and

A^1 is H, the side chain of any naturally occurring α-amino acid, or is of the following formula,

$$-(CH_2)_m-Y-(CH_2)_n-R^3X^3$$

wherein Y is O, S, C=O, C=S, -(CH=CH)-, vinylidene, $-C=NOR_h$, $-C=NNR_iR_{i'}$, sulfonyl, methylene, CHX^4 in the *(R)* or *(S)* configuration, or deleted, X^4 being halogen, methyl, halomethyl, OR_h, SR_h, $NR_iR_{i'}$, $-NR_i(OR_h)$, or $-NR_i(NR_iR_{i'})$, wherein R_h is selected from H, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{1-10} acyl, C_{1-6} alkylsulfonyl, and C_{6-10} arylsulfonyl; and each of R_i and $R_{i'}$, independently is selected from H, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, and C_{1-10} acyl; m is 0, 1, 2, or 3, and n is 0, 1, 2, or 3; and R^3 is straight chain or branched C_{1-8} alkylidene, straight chain or branched C_{1-8} alkylene, C_{3-10} cycloalkylidene, C_{3-10} cycloalkylene, phenylene, C_{6-14} arylalkylidene, C_{6-14} arylalkylene, or deleted, and X^3 is H, hydroxyl, thiol, carboxyl, amino, halogen, (C_{1-6} alkyl)oxycarbonyl, (C_{7-14} arylalkyl)oxycarbonyl, or C_{6-14} aryl; or R^3 and X^3 taken together are the side chain of any naturally occurring α-amino acid; and

a pharmaceutically acceptable carrier.

3. The method of claim 1 or 2, wherein the cancer is selected from carcinoma, lymphoma, sarcoma, and myeloma.

4. The method of claim 1 or 2, wherein said cancer is selected from adenocarcinoma, acinic cell adenocarcinoma, adrenal cortical carcinomas, alveoli cell carcinoma, anaplastic carcinoma, basaloid carcinoma, basal cell carcinoma, bronchiolar carcinoma, bronchogenic carcinoma, renaladinol carcinoma, embryonal carcinoma, anometroid carcinoma, fibrolamolar liver cell carcinoma, follicular carcinomas, giant cell carcinomas, hepatocellular carcinoma, intraepidermal carcinoma, intraepithelial carcinoma, leptomanigio

carcinoma, medullary carcinoma, melanotic carcinoma, menigual carcinoma, mesometonephric carcinoma, oat cell carcinoma, squamal cell carcinoma, sweat gland carcinoma, transitional cell carcinoma, tubular cell carcinoma, amelioblastic sarcoma, angiolithic sarcoma, botryoid sarcoma, endometrial stroma sarcoma, ewing sarcoma, fascicular sarcoma, giant cell sarcoma, granulositic sarcoma, immunoblastic sarcoma, juxaccordial osteogenic sarcoma, Kaposi's sarcoma, leukocytic sarcoma, lymphatic sarcoma, medullary sarcoma, myeloid sarcoma, austiogenci sarcoma, periosteal sarcoma, reticulum cell sarcoma, round cell sarcoma, spindle cell sarcoma, synovial sarcoma, and telangiectatic audiogenic sarcoma, neural blastoma, glioblastoma, astrocytoma, melanoma, leiomyo sarcoma, multiple myeloma, Hemangioma, Hodgkin's disease, Burkitt's lymphoma, and nodular poorly-differentiated lymphocytic lymphoma, nodular mixed lymphocytic lymphoma, nodular histiocytic lymphoma, and diffuse lymphomas.

5. The method of claim 1 or 2, wherein Z^1 is NH or NR_a.

6. The method of claim 1 or 2, wherein A^1 is $-(CH_2)_m$-Y-$(CH_2)_n$-R^3X^3 and Y is CHX^4 in the (*R*) or (*S*) configuration.

7. The method of claim 6, wherein Y is CHX^4 in the (*S*) configuration and X^3 is H.

8. The method of claim 7, wherein m and n are each 0.

9. The method of claim 1 or 2, wherein Z^2 is CHR^1 in the (*R*) configuration and R^1 is C_{1-6} alkyl.

10. The method of claim 2, wherein X^2 is O and Z^3 is O.

11. The method of claim 1, wherein R^2 is OR_f and R_f is H.